

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
21 October 2004 (21.10.2004)

PCT

(10) International Publication Number
WO 2004/089913 A1

(51) International Patent Classification⁷: **C07D 239/42**,
403/04, 403/10, 403/14, 409/04, 413/10, A61K 31/506,
A61P 1/00, 11/00, 17/00, 19/00, 25/00, 35/00, 37/00

(DE) **WÄLCHLI, Rudolf** [CH/CH]; St. Johannis-Vorstadt
90, CH-4056 Basel (CH).

(21) International Application Number:
PCT/EP2004/003819

(74) Agent: **GRUBB, Philip**; Novartis AG, Corporate Intellec-
tual Property, CH-4002 Basel (CH).

(22) International Filing Date: 8 April 2004 (08.04.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0308466.2 11 April 2003 (11.04.2003) GB

(71) Applicant (for all designated States except AT, US): **NO-
VARTIS AG** [CH/CH]; Lichtstrasse 35, CH-4056 Basel
(CH).

(71) Applicant (for AT only): **NOVARTIS PHARMA
GMHBH** [AT/AT]; Brunner Stasse 59, A-1230 Vienna
(AT).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BOLLBUCK, Bir-
git** [DE/DE]; Bodenseestrasse 23, 79576 Weil am Rhein
(DE). **DENHOLM, Alastair** [GB/GB]; Novartis Horsham
Research Centre, Wimblehurst Road, Horsham, West Sus-
sex RH12 5AB (GB). **EDER, Jörg** [DE/DE]; Zwischen
den Bächen 4, 79618 Rheinfelden (DE). **HERSPERGER,
René** [CH/CH]; Höhenweg 32, CH-4142 Münchenstein
(CH). **JANSER, Philipp** [CH/CH]; Delsbergerallee 1,
CH-4053 Basel (CH). **RÉVÉSZ, László** [CH/CH]; Ob
dem Fichtenrain 7, CH-4106 Therwil (CH). **SCHLAP-
BACH, Achim** [DE/DE]; Hünenbergweg 8, 79539 Lörrach

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

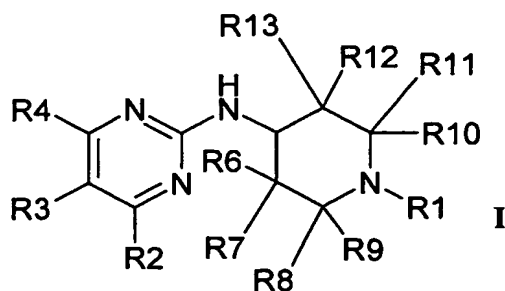
(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), Euro-
pean (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR,
GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK,
TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: AMINOPYRIMIDINE DERIVATIVES AND THEIR MEDICAL USE



(57) Abstract: A compound of formula I or a pharmaceu-
tically acceptable salt, ester or prodrug thereof wherein the vari-
ables have the meanings as defined in the specification. Further
disclosed are a method of inhibiting IKK activity using a com-
pound of formula I, a method of inhibiting production of TNF
using a compound of formula I, a compound of formula I for use
as a pharmaceutical, a pharmaceutical composition comprising
a compound of formula I, and use of a compound of formula I
in the manufacture of a medicament for use as an immunosup-
pressant or anti-inflammatory agent.